=> d his

L1

(FILE 'HOME' ENTERED AT 15:46:17 ON 16 JUN 2002)

FILE 'REGISTRY' ENTERED AT 15:46:21 ON 16 JUN 2002

STRUCTURE UPLOADED

L2 17 S L1

L3 458 S L1 FULL

FILE 'HCAPLUS' ENTERED AT 15:47:04 ON 16 JUN 2002

L4 10 S L3

L5 2 S L4 AND BIEDIGER, R?/AU

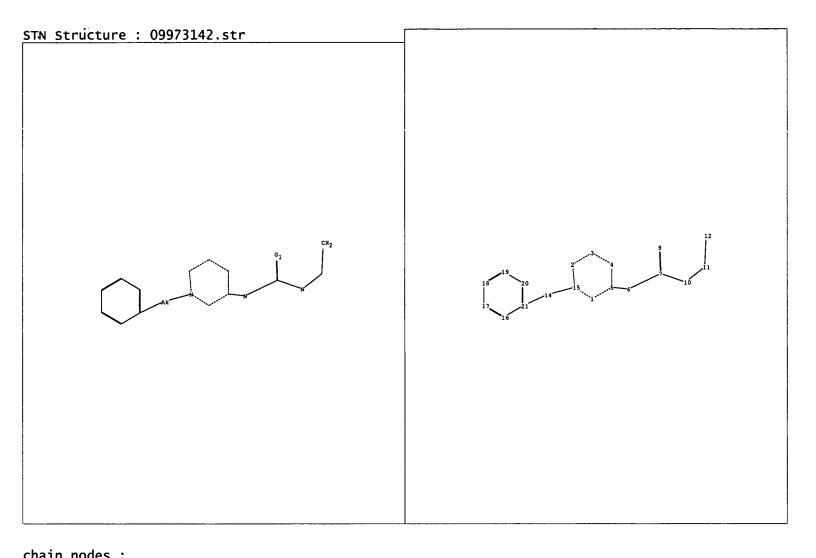
L6 8 S L4 NOT L5

L7 6 S L6 AND PD < JUNE 1999

FILE 'CAOLD' ENTERED AT 15:48:35 ON 16 JUN 2002

=> s 13

L8 0 L3



```
chain nodes :
    6 7 9 10 11 12 14
ring nodes :
    1 2 3 4 5 15 16 17 18 19 20 21
chain bonds :
    5-6 6-7 7-9 7-10 10-11 11-12 14-15 14-21
ring bonds :
    1-5 1-15 2-3 2-15 3-4 4-5 16-17 16-21 17-18 18-19 19-20 20-21
exact/norm bonds :
    1-5 1-15 2-3 2-15 3-4 4-5 5-6 6-7 7-9 7-10 10-11 14-15 14-21
exact bonds :
    11-12
normalized bonds :
    16-17 16-21 17-18 18-19 19-20 20-21
isolated ring systems :
    containing 1 :
```

G1:0,S,N

Match level:
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 9:CLASS 10:CLASS 11:CLASS 12:CLASS 14:CLASS 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom

Connecting via Winsock to STN

```
Welcome to STN International! Enter x:x
```

LOGINID:ssspta1612BXR

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

```
Welcome to STN International
NEWS
                 Web Page URLs for STN Seminar Schedule - N. America
NEWS
         Jan 25
                 BLAST(R) searching in REGISTRY available in STN on the Web
NEWS
      3
         Jan 29
                 FSTA has been reloaded and moves to weekly updates
NEWS
                 DKILIT now produced by FIZ Karlsruhe and has a new update
         Feb 01
                  frequency
NEWS
         Feb 19
                 Access via Tymnet and SprintNet Eliminated Effective 3/31/02
         Mar 08
NEWS
      6
                 Gene Names now available in BIOSIS
         Mar 22
NEWS
      7
                 TOXLIT no longer available
NEWS
         Mar 22
      8
                 TRCTHERMO no longer available
NEWS 9
         Mar 28
                 US Provisional Priorities searched with P in CA/CAplus
                  and USPATFULL
         Mar 28
NEWS 10
                 LIPINSKI/CALC added for property searching in REGISTRY
NEWS 11
         Apr 02
                 PAPERCHEM no longer available on STN. Use PAPERCHEM2
instead.
NEWS 12
         Apr 08
                  "Ask CAS" for self-help around the clock
NEWS 13
         Apr 09
                 BEILSTEIN: Reload and Implementation of a New Subject Area
         Apr 09
NEWS 14
                  ZDB will be removed from STN
NEWS 15
         Apr 19
                 US Patent Applications available in IFICDB, IFIPAT, and
IFIUDB
NEWS 16
         Apr 22
                 Records from IP.com available in CAPLUS, HCAPLUS, and
ZCAPLUS
NEWS 17
         Apr 22
                 BIOSIS Gene Names now available in TOXCENTER
NEWS 18
         Apr 22
                 Federal Research in Progress (FEDRIP) now available
NEWS 19
         Jun 03
                 New e-mail delivery for search results now available
NEWS 20
         Jun 10
                 MEDLINE Reload
NEWS 21
         Jun 10
                 PCTFULL has been reloaded
NEWS EXPRESS
              February 1 CURRENT WINDOWS VERSION IS V6.0d,
               CURRENT MACINTOSH VERSION IS V6.0a(ENG) AND V6.0Ja(JP),
              AND CURRENT DISCOVER FILE IS DATED 05 FEBRUARY 2002
NEWS HOURS
               STN Operating Hours Plus Help Desk Availability
NEWS INTER
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              CAS World Wide Web Site (general information)
```

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FILE 'HOME' ENTERED AT 15:46:17 ON 16 JUN 2002

=> file reg

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 15:46:21 ON 16 JUN 2002 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2002 American Chemical Society (ACS)

STRUCTURE FILE UPDATES: 14 JUN 2002 HIGHEST RN 430529-46-7 DICTIONARY FILE UPDATES: 14 JUN 2002 HIGHEST RN 430529-46-7

TSCA INFORMATION NOW CURRENT THROUGH January 7, 2002

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

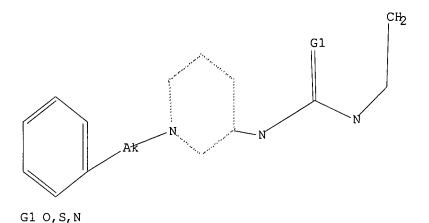
Calculated physical property data is now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details: http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
Uploading 09973142.str

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 15:46:52 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 198 TO ITERATE

100.0% PROCESSED 198 ITERATIONS 17 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH L**COMPLETE**
PROJECTED ITERATIONS: 3116 TO 4804

PROJECTED ANSWERS: 93 TO 587

L2 17 SEA SSS SAM L1

=> s l1 full

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 139.90 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y

FULL SEARCH INITIATED 15:46:58 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 4557 TO ITERATE

100.0% PROCESSED 4557 ITERATIONS 458 ANSWERS SEARCH TIME: 00.00.02

L3 458 SEA SSS FUL L1

=> file hcaplus

COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST
140.28
140.49

FILE 'HCAPLUS' ENTERED AT 15:47:04 ON 16 JUN 2002

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FILE COVERS 1907 - 16 Jun 2002 VOL 136 ISS 25 FILE LAST UPDATED: 14 Jun 2002 (20020614/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

=> s 13

L4 10 L3

=> s 14 and pd < biediger, r?/au

DATE SPECIFICATION IS NOT VALID
Date specifications may use ranges and numeric operators. The date itself can be in any of the following general formats:

STN Format: YYYYMMDD

Slash Format: MM/DD/YYYY or MM/YYYY

Dot Format: DD.MM.YYYY or MM.YYYY

Text Format: February 10, 1987 Feb 1989 Feb. 10, 1987 1990

Feb. 10, 1987 1990 Feb. 10, 2000 1998 - 2001

Feb 10, 1987 July 1997 - May 2002 10 February 1987 March 5 - 8, 1990 10 Feb 2007 April - June, 1999

Any year entered with only two digits will be interpreted as being in the range 1900-1999. Thus, Mar 12 01 will be searched as 19010312.

=> s 14 and biediger, r?/au

27 BIEDIGER, R?/AU

L5 2 L4 AND BIEDIGER, R?/AU

=> d l5, ibib abs fhitstr, 1-2

L5 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER:

2002:349146 HCAPLUS

DOCUMENT NUMBER:

136:369608

TITLE:

Preparation of 3-(N'-oxodihydropyridinylureido)-3-phenylpropanoates as inhibitors of .alpha.4.beta.1

integrin binding

INVENTOR(S):

Biediger, Ronald J.; Chen, Qi; Holland,

George W.; Kassir, Jamal M.; Li, Wen; Market, Robert V.; Scott, Ian L.; Wu, Chengde; Decker, Radford E.;

Li, Jian

PATENT ASSIGNEE(S):

Texas Biotechnology Corporation, USA

SOURCE:

Eur. Pat. Appl., 131 pp.

CODEN: EPXXDW

DOCUMENT TYPE: LANGUAGE: Patent English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE
EP 1203766 A2 20020508 EP 2001-125494 20011106

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

PRIORITY APPLN. INFO.:

US 2000-707068 A 20001106 US 2001-973142 A 20011009

OTHER SOURCE(S): MARPAT 136:369608

AB Title compds. were prepd. Thus, 2-ClC6H4CH2ZNH2 (Z = 4-ethyl-2-oxo-1,2-dihydropyridine-1,3-diyl) (prepn. given) was condensed with

(S)-4-MeC6H4CH(NH2)CH2CO2Et and COCl2 to give, after sapon.,

(S)-2-ClC6H4CH2ZNHCONHCH(C6H4Me-4)CH2CO2H (Z as above). Data for biol. activity of title compds. were given.

IT 307520-20-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 3-(N'-oxodihydropyridinylureido)-3-phenylpropanoates as inhibitors of .alpha.4.beta.1 integrin binding)

RN 307520-20-3 HCAPLUS

CN Benzenepropanoic acid, .beta.-[[[[1-[(2-chlorophenyl)methyl]-4-ethyl-1,2-dihydro-2-oxo-3-pyridinyl]amino]carbonyl]amino]-4-methyl-, (.beta.S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER:

2000:814302 HCAPLUS

DOCUMENT NUMBER: 133:362963

TITLE:

Preparation of .beta.-amino acid derivatives that inhibit the binding of integrins to their receptors

INVENTOR(S):

Biediger, Ronald J.; Chen, Qi; Holland, George W.; Kassir, Jamal M.; Li, Wen; Market, Robert V.; Scott, Ian L.; Wu, Chengde

PATENT ASSIGNEE(S):

Texas Biotechnology Corporation, USA PCT Int. Appl., 113 pp.

SOURCE:

CODEN: PIXXD2

Patent

DOCUMENT TYPE: LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

1

PATENT INFORMATION:

| F | PATENT NO. KIN | | | | | DATE | | | A | PPLI | CATI | и ис | DATE | | | | |
|----------|----------------|-------|------|-------|-------|------|----------|------|----------------|------|-------|------|------|----------|------|-----|-----|
| <u> </u> | NO 2000 | 0677 | 4 6 | A | 1 | 2000 | 1116 | | w | 0 20 | 00-U | s123 | 03 | 2000 | 0505 | | |
| | W: | ΑE, | AL, | AM, | ΑT, | AU, | AZ, | BA, | BB, | BG, | BR, | BY, | CA, | CH, | CN, | CR, | CU, |
| | | CZ, | DE, | DK, | DM, | EE, | ES, | FI, | GB, | GD, | GE, | GH, | GM, | HR, | HU, | ID, | IL, |
| | | IN, | IS, | JΡ, | ΚE, | KG, | ΚP, | KR, | ΚZ, | LC, | LK, | LR, | LS, | LT, | LU, | LV, | MA, |
| | | MD, | MG, | MK, | MN, | MW, | MX, | NO, | NΖ, | PL, | PT, | RO, | RU, | SD, | SE, | SG, | SI, |
| | | SK, | SL, | ТJ, | TM, | TR, | TT, | TZ, | UA, | UG, | US, | UZ, | VN, | YU, | ZA, | ZW, | ΑM, |
| | | ΑZ, | BY, | KG, | ΚZ, | MD, | RU, | ТJ, | TM | | | | | | | | |
| | RW: | GH, | GM, | ΚE, | LS, | MW, | SD, | SL, | SZ, | TZ, | UG, | ZW, | ΑT, | BE, | CH, | CY, | DE, |
| | | DK, | ES, | FI, | FR, | GB, | GR, | ΙE, | ΙT, | LU, | MC, | NL, | PT, | SE, | BF, | ВJ, | CF, |
| | | CG, | CI, | CM, | GΑ, | GN, | G₩, | ML, | MR, | ΝE, | SN, | TD, | ΤG | | | | |
| E | EP 1176 | 956 | | A | 1 | 2002 | 0206 | | EP 2000-937527 | | | | | 20000505 | | | |
| | R: | AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | ΙΤ, | LI, | LU, | NL, | SE, | MC, | PT, |
| | | ΙE, | SI, | LT, | LV, | FI, | RO | | | | | | | | | | |
| V. | NO 2001 | .0054 | 18 | Α | | 2001 | 1221 | | N | 0 20 | 01-5 | 418 | | 2001 | 1106 | | |
| PRIORI | TY APP | LN. | INFO | .: | | | | 1 | US 1 | 999- | 1321 | 97P | Р | 1999 | 0507 | | |
| | | | | | | | | 1 | WO 2 | 000- | JS12: | 303 | W | 2000 | 0505 | | |
| OTHER | SOURCE | (S): | | | MAR | PAT | 133: | 3629 | 63 | | | | | | | | |

GΙ

$$\begin{array}{c|c}
(Y) & J & M \\
(Y) & \downarrow & \downarrow \\
W & A & E & T & R4 & I
\end{array}$$

AB Title compds. I [Y, at each occurrence, independently = CO, N, CR1, CR2R3,

NR5, CH, O, or S; q=3-10; A=0, S, CR16R17, NR6; E=CH2, O, S, NR7; J=0, S, NR8; M=CR9R10 or (CH2)0-3; T=CO or (CH2)0-3; L=0, NR11, S, (CH2)0-1; X=CO2B, PO3H2, SO3H, SO2NH2, SO2NHCOR12, OPO3H2, CONHCOR13, CONHSO2R14, tetrazolyl, hydroxyl, H; W=C, CR15, N; B, R1-17 = H, halo, hydroxyl, alkyl, alkoxy, aliph. acyl, CF3, nitro, cycloalkyl, alkylheteroaryl, sulfonyl, carboxyl, etc.] or their pharmaceutically acceptable salts were prepd. for inhibition of the binding of .alpha.4.beta.1 integrin to its receptors. Thus, II was prepd. and assayed (IC50 = 0.2 .mu.M) for its ability to suppress binding using a 26-amino acid peptide contg. the CS-1 sequence of fibronectin with N-terminal cysteine coupled to maleimide activated ovalbumin.

IT 307520-20-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of .beta.-amino acid derivs. that inhibit the binding of integrins to their receptors)

RN 307520-20-3 HCAPLUS

CN Benzenepropanoic acid, .beta.-[[[[1-[(2-chlorophenyl)methyl]-4-ethyl-1,2-dihydro-2-oxo-3-pyridinyl]amino]carbonyl]amino]-4-methyl-, (.beta.S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

=> d his

(FILE 'HOME' ENTERED AT 15:46:17 ON 16 JUN 2002)

FILE 'REGISTRY' ENTERED AT 15:46:21 ON 16 JUN 2002

L1 STRUCTURE UPLOADED

L2 17 S L1

L3 458 S L1 FULL

FILE 'HCAPLUS' ENTERED AT 15:47:04 ON 16 JUN 2002

L410 S L3

 L_5 2 S L4 AND BIEDIGER, R?/AU

=> s 14 not 15

L6 8 L4 NOT L5

=> s 16 and pd < june 1999

19624739 PD < JUNE 1999

(PD<19990600)

T.7 6 L6 AND PD < JUNE 1999

=> d 17, ibib abs fhitstr, 1-6

ANSWER 1 OF 6 HCAPLUS COPYRIGHT 2002 ACS ACCESSION NUMBER: 1998:146699 HCAPLUS

DOCUMENT NUMBER: 128:205145

TITLE: Piperidine, pyrrolidine and hexahydro-1H-azepine

peptide analogs promote release of growth hormone

Chen, Meng H.; Nargund, Ravi; Patchett, Arthur A.; INVENTOR(S):

Yang, Lihu

PATENT ASSIGNEE(S): Merck and Co., Inc., USA

SOURCE: U.S., 95 pp., Cont.-in-part of U.S. 5,492,920.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE -----____ _____ -----US 5721251 A US 5492920 A 19980224 US 1996-600912 19960213 <--19960220 US 1994-323998 19941017 <--PRIORITY APPLN. INFO.: US 1993-165149 19931210 US 1994-323998 19941017

OTHER SOURCE(S): MARPAT 128:205145

GΙ

```
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *
```

The present invention = directed to certain novel compds. identified as substituted piperidines, pyrrolidines and hexahydro-lH-azepines of the general structural formula I [R1 = e.g., C1-10 alkyl, aryl, aryl(C1-6 alkyl); R3 = e.g., (CH2)q-Ph, (CH2)q-naphthyl, C3-7 cycloalkyl; X = e.g., H, cyano; Y = e.g., H, C1-10 alkyl; R4 and R5 = independently, e.g., H, C1-6 alkyl; A = (CH2)xCR7R7a(CH2)y, Z(CH2)xCR7R7a(CH2)y; x, y = 0-3; Z = NR6a, O; R6a = H, C1-6 alkyl; R7, R7a = independently, e.g., H, C1-6 alkyl, CF3; n = 1-3; q = 0-3]. These compds. promote the release of growth hormone in humans and animals (no data). This property can be utilized to promote the growth of food animals to render the prodn. of edible meat products more efficient, and in humans, to treat physiol. or medical conditions characterized by a deficiency in growth hormone secretion, such as short stature in growth hormone deficient children,

and

to treat medical conditions which are improved by the anabolic effects of growth hormone. Growth hormone releasing compns. contg. such compds. as the active ingredient thereof are also disclosed. Thus, e.g., amide coupling of phenylpiperidine II.HCl (prepn. given) with (2R)-N-Boc-amino-5-phenylpentanoic acid followed by deprotection and coupling with N-Boc-.alpha.-methylalanine and deprotection afforded piperidine deriv. III.HCl.

IT 170840-83-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); FFD (Food or feed use); SPN (Synthetic preparation);

THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of piperidine, pyrrolidine, and hexahydroazepine peptide analogs as growth hormone release promoters)

RN 170840-83-2 HCAPLUS

CN Propanamide,

2-amino-2-methyl-N-[1-[[3-[[[2-(methylthio)ethyl]amino]carbo nyl]amino]-4-phenyl-1-piperidinyl]carbonyl]-4-phenylbutyl]-, monohydrochloride, [3S-[1(S*),3.alpha.,4.alpha.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c|c} & H & H & H & \\ \hline N & N & R & \\ \hline O & Ph & \\ \hline \end{array}$$

• HCl

L7 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2002 ACS ACCESSION NUMBER: 1998:124013 HCAPLUS

DOCUMENT NUMBER: 128:192544

TITLE: Preparation of indole and carbazole derivatives as

serotonin agonists

INVENTOR(S): Johnson, Kirk W.; Phebus, Lee A.

PATENT ASSIGNEE(S): Eli Lilly and Company, USA; Johnson, Kirk W.; Phebus,

Lee A.

SOURCE: PCT Int. Appl., 271 pp.

CODEN: PIXXD2

DOCUMENT TYPE: LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PA' | ATENT NO. | | | KI | DATE | | APPLICATION NO. | | | | | | DATE | | | | |
|----------|-----------|------|------|-----|------|------|-----------------|-----|------|------|------|------|------|-------|------|-----|-----|
| WO | 9806 | | | А | 1 | 1998 | 0219 | | W | 0 19 | 97-U | 5140 | 97 | 1997 | 0812 | < | |
| | W: | AL, | AM, | ΑU, | ΑZ, | BA, | BB, | BG, | BR, | BY, | CA, | CN, | CU, | CZ, | EE, | GΕ, | GH, |
| | | | | | | | | | | | | | | LS, | | | |
| | | | | | | | | | | | | | | SI, | | | |
| | | | | | UA, | ŪG, | US, | UZ, | VN, | YU, | ZW, | AM, | ΑZ, | BY, | KG, | ΚZ, | MD, |
| | | • | ТJ, | | | | | | | | | | | | | | |
| | RW: | | | | | | | UG, | ZW, | BF, | ВJ, | CF, | CG, | CI, | CM, | GΑ, | GN, |
| | | | • | • | • | TD, | | | | | | | _ | | | | |
| | | | | | | | | | | | | | | 1997 | | | |
| | 8249 | | | | | 1998 | 0225 | | E | P 19 | 97-3 | 0613 | 0 | 1997 | 0812 | < | |
| EP | 8249 | 17 | | Α | 3 | 2000 | 0830 | | | | | | | | | | |
| | R: | AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | IT, | LI, | LU, | NL, | SE, | MC, | PT, |
| | | ΙE, | SI, | LT, | LV, | FI, | RO | | | | | | | | | | |
| AU | 9740 | 615 | | Α | 1 | 1998 | 0306 | | Α | U 19 | 97-4 | 0615 | | 1997 | 0812 | < | |
| AU | 7169 | 04 | | В | 2 | 2000 | 0309 | | | | | | | | | | |
| BR | 9711 | 147 | | Α | | 1999 | 0817 | | В | R 19 | 97-1 | 1147 | | 1997 | 0812 | | |
| CN | 1233 | 180 | | Α | | 1999 | 1027 | | С | N 19 | 97-1 | 9871 | 8 | 1997 | 0812 | | |
| JP | 2000 | 5162 | 33 | T | 2 | 2000 | 1205 | | J | P 19 | 98-5 | 0994 | 3 | 1997 | 0812 | | |
| NO | 9900 | 701 | | Α | | 1999 | 0416 | | N | 0 19 | 99-7 | 01 | | 1999 | 215 | < | |
| US | 6380 | 201 | | В | 1 | 2002 | 0430 | | U | S 19 | 99-2 | 6272 | 6 | 19990 | 304 | | |
| PRIORITY | Y APP | LN. | INFO | .: | | | | 1 | US 1 | 996- | 2409 | 6P | Р | 19960 | 0816 | | |
| | | | | | | | | Ī | US 1 | 997- | 9067 | 70 | A3 | 19970 | 0805 | | |

WO 1997-US14097 W 19970812

OTHER SOURCE(S):

MARPAT 128:192544

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Title compds. I (A-B = CHCH2, C:CH; Ar = pyridinyl, pyrrolyl, AB (un) substituted pyrazolyl; X = H, halo, alkoxy, OH, benzyloxy, carboxamido, alkyl, alkylthio; p = 1-4), II (R = H, alkyl, naphthylalkyl, naphthylthioalkyl, phenylthioalkyl, etc.; R1 = H, alkyl; X = alkylthio, alkylcarbonyl, alkylsulfonylamido, etc.), III (R2 = H, alkyl, arylethyl; R3 = H, alkyl, arylethyl; X = OH, alkylcarbonylamino, alkylcarbonyl,

etc.; m = 0-1; n = 1-2), IV (R2 = alky; R3 = alkyl, cycloalkyl, etc.; R4 = alkyl, phenyl; R5 = alkyl, cycloalkyl, (un) substituted Ph, naphthyl, etc.),

and pharmaceutically acceptable acid salts were prepd. and methods for

the

treatment or amelioration of the symptoms of the common cold or allergic rhinitis which comprises administering the title compds. and salts to human as serotonin 5-HT agonists in both injectable and oral compns. were tested. N-(4-fluorobenzoly)-5-amino-3-(1-methylpiperidin-4-yl)-indole is the most preferred compd.

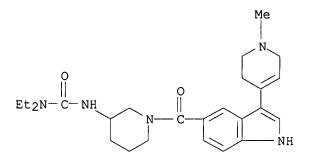
TΤ 203710-08-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of indole and carbazole derivs. as 5-HT agonists)

203710-08-1 HCAPLUS RN

3-Piperidinamine, N-[(diethylamino)carbonyl]-1-[[3-(1,2,3,6-tetrahydro-1-CN methyl-4-pyridinyl)-1H-indol-5-yl]carbonyl]- (9CI) (CA INDEX NAME)



ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2002 ACS ACCESSION NUMBER:

DOCUMENT NUMBER:

1996:172221 HCAPLUS

125:11469

TITLE:

Piperidine, pyrrolidine and hexahydro-lH-azepine peptide analogs promote release of growth hormone Chen, Meng H.; Nargund, Ravi; Patchett, Arthur A.;

INVENTOR(S):

Yang, Lihu

PATENT ASSIGNEE(S):

Merck and Co., Inc., USA

SOURCE:

U.S., 74 pp. Cont.-in-part of U.S. Ser. No. 165,149,

abandoned.
CODEN: USXXAM

DOCUMENT TYPE:

Patent English

LANGUAGE:

GΙ

FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

| PATENT NO. | | | | KIND DATE | | | | | APPLICATION NO. | | | | | | | DATE | | | |
|-----------------------|-------|------------------|-----|-----------|---------|------|------|-----|-----------------|-----|-------|-------|------|------|------|------------|-------------|------|--|
| U. | s 549 | 2920 3069 | | Α | | 1996 | 0220 | | | US | 199 | 94-32 | 2399 | 8 | 1994 | 1017 | < | | |
| ** | | AM, | | | | | | | | | | | | | | | | | |
| | ** . | | | | | LV, | | | | | | | | | | | | | |
| | | | | | | | | MG, | 1,11/ | , 1 | ιο, | 144, | Eш, | NO, | NO, | 51, | JI, | 10, | |
| | | | | | | US, | | G., | | _ | | П.С | | C.D. | CD. | T D | T ID | T F7 | |
| | RW | : KE, | | | | | | | | | | | | | | | | | |
| | | | | PT, | SE, | BF, | ΒJ, | CF, | CG | , (| ΞĹ, | CM, | GA, | GN, | ML, | MR, | NE, | SN, | |
| | | | ΤG | | | | | | | | | _ | | | | | | | |
| C. | A 217 | 5218 | | A | A | 1995 | 0518 | | | CA | 199 | 94-2 | 1752 | 18 | 1994 | 1107 | < | | |
| A | J 951 | 1729 | | Α | 1 | 1995 | 0529 | | | ΑU | 199 | 95-1 | 1729 | | 1994 | 1107 | < | | |
| | | 204 | | | | | | | | | | | | | | | | | |
| | R: | ΑT, | BE, | CH, | | | | | | | | | | | | | | SE | |
| H | U 747 | 33 | | Α | 2 | 1997 | | | | | | | | | | | | | |
| В | R 940 | 8019 | | Α | | 1997 | 0826 | | | BR | 199 | 94-8 | 019 | | 1994 | 1107 | < | | |
| C | N 117 | 8019 4504 | | Α | | 1998 | 0225 | | | CN | 199 | 94-1 | 9473 | 8 | 1994 | 1107 | < | | |
| J | P 105 | 06091 | | Т | 2 | 1998 | 0616 | | | JΡ | 199 | 94-5 | 1393 | 2 | 1994 | 1107 | < | | |
| U | S 572 | 06091 1251 | | А | | 1998 | 0224 | | | US | 199 | 96-6 | 0091 | 2 | 1996 | 0213 | < | | |
| F | I 960 | 1951 | | Α | | 1996 | 0508 | | | FI | 199 | 96-1 | 951 | | 1996 | 0508 | < | | |
| N | 960 | 1951 1865 | | Α | | 1996 | | | | | | | | | | | | | |
| \mathbf{L}^{\prime} | V 115 | 25 | | В | | | | | | | | | | | | | | | |
| PRIORI | | | | | | | | | US | 199 | 3-: | 1651 | 49 | | 1993 | 1210 | | | |
| | | | | | | | | | | | | | | | | 1109 | | | |
| | | | | | | | | | US | 199 | 3-3 | 1734 | 49 | | 1993 | 1223 | | | |
| | | | | | | | | | US | 199 | 4 – 1 | 3239 | 88 | | 1994 | 1017 | | | |
| | | | | | | | | | | | | | | | | 1017 | | | |
| | | | | | | | | | IIS | 199 | 44- | 3239 | 98 | | 1994 | 1017 | | | |
| | | | | | | | | | | | | | | | 1994 | | | | |
| OTHER | SOURC | E(S)· | | | маг | TAGS | 125. | | | | | | 010 | | | | | | |
| OTHER | | L(3). | | | . 11 11 | | | | _ | | | | | | | | | | |

- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- AB The present invention is directed to certain novel compds. identified as substituted piperidines, pyrrolidines and hexahydro-1H-azepines of the general structural formula I wherein: R1 = e.g., C1-C10 alkyl, aryl, aryl(C1-C6 alkyl); R3 = e.g., (CH2)r-Ph, (CH2)r-naphthyl, C3-7 cycloalkyl;
- X = e.g., H, CN; Y = e.g., H, C1-10 alkyl; R4 and R5 are independently, e.g., H, C1-6 alkyl; A = (CH2)xCR7R7a(CH2)y or Z(CH2)xCR7R7a(CH2)y where
 - and y are independently 0, 1, 2, or 3; Z is NR6a or 0, where R6a = H or

C1-6 alkyl; R7 and R7a are independently, e.g., H, C1-6 alkyl, CF3; n is 1, 2, or 3; r is 0, 1, 2, or 3. These compds. promote the release of growth hormone in humans and animals (no data). This property can be utilized to promote the growth of food animals to render the prodn. of edible meat products more efficient, and in humans, to treat physiol. or medical conditions characterized by a deficiency in growth hormone secretion, such as short stature in growth hormone deficient children,

and

to treat medical conditions which are improved by the anabolic effects of growth hormone. Growth hormone releasing compns. contq. such compds. as the active ingredient thereof are also disclosed. Thus, e.g., amide coupling of phenylpiperidine II.HCl (prepn. given) with (2R)-N-Boc-amino-5-phenylpentanoic acid followed by deprotection and coupling with N-Boc-.alpha.-methylalanine and deprotection afforded piperidine deriv. III.HCl.

ΙT 170840-83-2P

> RL: BAC (Biological activity or effector, except adverse); FFD (Food or feed use); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(piperidine, pyrrolidine, and hexahydro-1H-azepine peptide analogs promote release of growth hormone)

170840-83-2 HCAPLUS RN

CN Propanamide,

2-amino-2-methyl-N-[1-[3-[[[2-(methylthio)ethyl]amino]carbonyl]amino]-4-phenyl-1-piperidinyl]carbonyl]-4-phenylbutyl]-, monohydrochloride, [3S-[1(S*),3.alpha.,4.alpha.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

MeS
$$\begin{array}{c|c}
H & H \\
N & S \\
Ph & R
\end{array}$$

$$\begin{array}{c|c}
R & (CH_2)_3 \\
Me & NH_2 \\
Me
\end{array}$$
Me

HC1

ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2002 ACS 1995:951172 HCAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER:

124:8627

TITLE:

Preparation of piperidines, pyrrolidines and

hexahydro-1H-azepines which promote the release of

growth hormone

INVENTOR(S):

Morriello, Gregori J.; Patchett, Arthur A.; Yang,

Lihu; Chen, Meng H.; Nargund, Ravi

PATENT ASSIGNEE(S):

SOURCE:

Merck and Co., Inc., USA PCT Int. Appl., 417 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

| : | PATENT NO. | | | KI | | | API | PLIC | CATIO | ο. | DATE | | | | | | | | |
|-------|------------|-------|------|------|-------|-------|------|-------|-------|----|--------|---------------|-------|-------|------------------|------|------|-----|-----|
| | wo | 9513 | 069 | | A | 1 | 1995 | 0518 | | Ī | WO | 199 | 94-U | 5128: | - - 16 | 1994 | 1107 | < | |
| | | W: | AM, | ΑU, | BB, | BG, | BR, | BY, | CA, | CN | , (| cz, | EE, | FI, | GΕ, | HU, | JP, | KG, | KR, |
| | | | ΚZ, | LK, | LR, | LT, | LV, | MD, | MG, | MN | , N | 10, | NZ, | PL, | RO, | RU, | SI, | SK, | ТJ, |
| | | | TT, | UA, | US, | US, | US, | UZ | | | | | | | | | | | |
| | | RW: | ΚE, | MW, | SD, | SZ, | AT, | BE, | CH, | DE | , E | ΟK, | ES, | FR, | GB, | GR, | ΙE, | ΙΤ, | LU, |
| | | | MC, | NL, | PT, | SE, | BF, | ВJ, | CF, | CG | , (| CI, | CM, | GA, | GN, | ML, | MR, | NE, | SN, |
| | | | TD, | ΤG | | | | | | | | | | | | | | | |
| Ţ | US | 5492 | 916 | | Α | | 1996 | 0220 | | 1 | US | 199 | 94-32 | 2398 | 8 | 1994 | 1017 | < | |
| 1 | US | 5492 | 920 | | Α | | 1996 | 0220 | | 1 | US | 199 | 94-32 | 2399 | 8 | 1994 | 1017 | < | |
| i | US | 5494 | 919 | | Α | | 1996 | 0227 | | 1 | US | 199 | 94-32 | 2399 | 4 | 1994 | 1017 | < | |
| i | ΑU | 9511 | 729 | | A | | 1995 | | | | | | | | | | 1107 | | |
| 1 | EΡ | 7392 | 04 | | Α | 1 | 1996 | 1030 | |] | ΕP | 199 | 95-90 | 0246 | 7 | 1994 | 1107 | < | |
| | | R: | AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB | , (| SR, | ΙE, | IT, | LI, | LU, | NL, | PT, | SE |
| - | | 9408 | | | | | | | | | | | | | | | 1107 | | |
| | | 1050 | | | | | | | | | | | | | | | 1107 | | |
| | | 5622 | | | | | | | | | | | | | | 1995 | 0605 | < | |
| | | 9601 | | | | | 1996 | | | | | | 96-19 | | | | 0508 | | |
| | | 9601 | | | | | 1996 | 0708 | | | | | | | | | 0508 | < | |
| PRIOR | ΙTΊ | APP (| LN. | INFO | . : | | | | | | | - | | | | | 1109 | | |
| | | | | | | | | | | | | | 1651 | | | | 1210 | | |
| | | | | | | | | | | | | | 1734 | | | | 1223 | | |
| | | | | | | | | | | | | | | | | 1994 | | | |
| | | | | | | | | | | | | | | | | | 1017 | | |
| | | | | | | | | | | | | | | | | 1994 | | | |
| | | | | | | | | | | | | | | | | | 1017 | | |
| | | | | | | | | | | | 199 | 94 - ₹ | JS128 | 316 | | 1994 | 1107 | | |
| OTHER | SC | DURCE | (S): | | | MAR | PAT | 124:8 | 3627 | | | | | | | | | | |

OTHER SOURCE(S): MARPAT 124:8627

GΙ

AB The title compds. [I; A = (un)substituted alkylene; R1 = (un)substituted alkyl, (un) substituted aryl, (un) substituted heteroaryl, (un) substituted Ph, (un) substituted naphthyl, etc.; R3 = H, phenylalkyl, naphthylalkyl, alkyl, cycloalkyl, halogen, etc.; R4, R5 = H, (un)substituted alkyl; W = H, CN, (un) substituted CO2H, (un) substituted CONH2, etc.; X = H, CN, (un) substituted aminoalkyl, etc; Y = H, (un) substituted alkyl, arylalkyl, etc.; n = 1-3] (e.g., II), which promote the release of growth hormone in humans and animals (no data) and can be utilized to promote the growth of food animals to render the prodn. of edible meat products more

(no data), and in humans to treat physiol. or medical conditions characterized by a deficiency in growth hormone secretion (no data), are prepd. I-contg. growth hormone-releasing formulations are claimed.

ΙT 170840-83-2P

^{*} STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

RL: FFD (Food or feed use); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of piperidines, pyrrolidines and hexahydro-lH-azepines which promote the release of growth hormone)

170840-83-2 HCAPLUS RN

CN Propanamide,

 $2-a \texttt{mino-2-methyl-N-[1-[[3-[[[2-(\texttt{methylthio})\,\texttt{ethyl}]\,\texttt{amino}]\,\texttt{carbo})}$ nyl]amino]-4-phenyl-1-piperidinyl]carbonyl]-4-phenylbutyl]-, monohydrochloride, [3S-[1(S*),3.alpha.,4.alpha.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

HC1

ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER:

1995:551028 HCAPLUS

DOCUMENT NUMBER:

122:302892

TITLE:

Silver halide photographic material with decreased

residual color

INVENTOR(S):

Yamada, Taketoshi; Oonishi, Akira; Usagawa, Yasushi Konishiroku Photo Ind, Japan

PATENT ASSIGNEE(S):

SOURCE:

Jpn. Kokai Tokkyo Koho, 63 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

LANGUAGE:

Patent Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-----|------------------------|---------|----------------|--|----------------|
| 7 D | | | | JP 1993-133470 | |
| AB | kinds of cyanine | dyes | selected from | .1 photog. layers claimed cyanine dy .ltoreq.30 or .lt | es. The above |
| ΙT | 163074-28-0 | | | | |
| | RL: DEV (Device (Uses) | compon | ent use); MOA | (Modifier or addit | ive use); USES |
| | (silver halid | le phot | og. material w | ith decreased resi | dual color) |
| RN | 163074-28-0 HCA | PLUS | | | |

CN Benzoic acid, 2-[[5-[[(butylamino)carbonyl]amino]-3,6-dihydro-3-[3-[4-(2-

methoxyethoxy)phenyl]-2-propenylidene]-2,6-dioxo-4-(trifluoromethyl)-1(20\-15

pyridinyl]methyl] - (9CI) (CA INDEX NAME)

```
HO<sub>2</sub>C
                   CH2
                         CH-CH=CH
n-BuNH-C-NH
                                                  O-СH2-СH2-ОМе
                   CF3
```

ANSWER 6 OF 6 HCAPLUS COPYRIGHT 2002 ACS ACCESSION NUMBER: 1980:514279 HCAPLUS

DOCUMENT NUMBER: 93:114279

TITLE: Synthesis of nitrosourea derivatives of pyridine and

piperidine as potential anticancer agents

Crider, A. Michael; Lamey, Randall; Floss, Heinz G.; Cassady, John M.; Bradner, William J. AUTHOR(S):

CORPORATE SOURCE: Sch. Pharm. Pharm. Sci., Purdue Univ., West

Lafeyette,

IN, 47907, USA

J. Med. Chem. (1980), 23(8), 848-51 SOURCE:

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal LANGUAGE: English

RNHCON(NO)CH2CH2Cl (I; R = 1-benzyl-3-piperidinyl,

1-benzyl-4-piperidinyl,

1-butyl-4-piperidinyl, 1-ethyl-3-piperidinyl, 3-pyridyl) were prepd. (by reaction of RNH2 with ClCH2CH2NCO followed by nitrosation of RNHCONHCH2CH2Cl) and evaluated for anticancer activity. I (R = $\frac{1}{2}$ 1-benzyl-4-piperidinyl) hydrogen maleate exhibited good activity against intracranial L1210 leukemia as well as the mouse ependymoblastoma brain tumor system. It exhibited comparable activity in the Lewis lung carcinoma system to N,N'-bis(2-chloroethyl)-N-nitrosourea. Replacement

of

the N-benzyl group in both the 3-piperidinyl- and 4piperidinylnitrosoureas resulted in less active compds. in all tumor systems tested. I (R = 3-pyridyl) was inactive in the L-1210 leukemia system.

ΙT 74045-85-5P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. and anticancer activity of)

RN 74045-85-5 HCAPLUS

CN Urea, N-(2-chloroethyl)-N-nitroso-N'-[1-(phenylmethyl)-3-piperidinyl]-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{CH}_2\text{-Ph} \\ & \text{ON} & \text{O} \\ & \text{N} \\ \text{ClCH}_2\text{-CH}_2\text{-N-C-NH} \end{array}$$

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| COST IN U.S. DOLLARS | SINCE FILE | TOTAL |
|--|----------------|-------------------|
| FULL ESTIMATED COST | ENTRY 41.53 | SESSION 182.02 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE | TOTAL |
| CA SUBSCRIBER PRICE | ENTRY -4.96 | SESSION -4.96 |

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L1 STRUCTURE UPLOADED

L2 17 S L1

L3 458 S L1 FULL

FILE 'HCAPLUS' ENTERED AT 15:47:04 ON 16 JUN 2002

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L6 8 S L4 NOT L5

L7 6 S L6 AND PD < JUNE 1999

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L8 0 L3

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| | ENTRY | SESSION |
| FULL ESTIMATED COST | 0.38 | 182.40 |
| | | |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE | TOTAL |
| | ENTRY | SESSION |
| CA SUBSCRIBER PRICE | 0.00 | -4.96 |

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